Patent Claims

X. 1-Aziridino-1-hydroxyiminomethyl derivatives with the general formula I

$$\begin{array}{c}
R + \begin{pmatrix}
N - OH \\
N - R_1 \\
R_2
\end{pmatrix}$$
I

wherein

R stands for any organic residue that is able to bond covalently two aziridine oxime groups,

 R_1 and R_2 independently of one another stand for a hydrogen atom or a $-CH_3$, $-C_2H_5$, -CN, -COOH, $-COOCH_3$, $-COOC_2H_5$, $-CONH_2$, or $-C_6H_5$ group, and

n is the whole number 2.

2. 1-Aziridino-1-hydroxyiminomethyl derivatives pursuant to claim 1, characterized by the fact that R is any organic residue that is selected from

a single bond, linear or branched, saturated or unsaturated alkanes or heteroalkanes with up to 6 carbon atoms and with up to four hetero atoms, C_3 - C_8 cycloalkanes that are optionally substituted with short-chain C_1 - C_6 alkyl, C_1 - C_6 alkoxy, nitro, amino, monosubstituted amino, and/or halogen groups,

heterocyclic compounds with 3 to 6 ring atoms and up to four hetero atoms,

aromatic compounds with up to 8 ring atoms that are optionally substituted with cyano, hydroxy, short-chain C_1 - C_6 alkyl, C_1 - C_6 alkoxy, nitro, amino, monosubstituted amino, trihaloalkyl, and/or halogen groups, and

heteroaryls with 3 to 7 ring atoms and up to four hetero atoms.

3. 1-Aziridino-1-hydroxyiminomethyl derivatives pursuant to claim 2, characterized by the fact that the parent substance R is selected from a single bond, methyl, ethane, ethene, ethyne, propane, isopropane, butane, isobutane, sec-butane, pentane, isopentane, neopentane, hexane, azine, cyclopropane, cyclobutane, cyclopentane, cyclohexane, cycloheptane, cyclooctane, pyrrole, pyrroline, pyrrolidine, imidazole, imidazoline, pyrazolidine, thiazole, thiazoline, thiazolidine, isothiazole, isothiazoline, isothiazolidine, benzothiazole, furan, dihydrofuran, tetrahydrofuran, benzofuran, thiophene, benzothiophene, oxazole, oxazoline, oxazolidine, benzoxazole, isoxazole, isoxazoline, isoxazolidine, piperidine, piperazine, pyrimidine, morpholine, dihydropyran, tetrahydropyran,



pyridazine, benzene, furoxane, imidazole, imidazoline, imidazolidine, pyrazole, pyrazoline, pyrazolidine, pyridine and its N-oxide, dihydropyridine, pyrimidine, or pyrazine.

4. 1-Aziridine-1-hydroxyiminomethyl derivatives pursuant to one of the preceding claims, characterized by the fact that R₁ and R₂ independently of one another represent hydrogen atoms or a CONH₂ group.

- 5. 1-Aziridino-1-hydroxyiminomethyl derivatives pursuant to claim 1, namely
- 2,6-bis(1-aziridino-1-hydroxyiminomethyl)pyridine (6),
- 1,4-bis(1-aziridino-1-hydroxyiminomethyl)benzene (7),
- 1,4-di(α -2-carbomoylaziridino- α -hydroxyiminomethyl)benzene (8),
- 1,3-bis(1-aziridino-1-hydroxyiminomethyl)benzene (9),
- 1,3,5-tris(1-aziridino-1-hydroxyiminomethyl)benzene (10),
- 1,3-di(α -2-carbamoylaziridino- α -hydroxyiminomethyl)benzene (11),
- 2,6-di(α -2-carbamoylaziridino- α -hydroxyiminomethyl)pyridine (12),
- 3,5-bis(1-aziridino-1-hydroxyiminomethyl)pyridine (13),
- 2,5-bis(1-aziridino-1-hydroxyiminomethyl)pyridine ((14),
- 2,4-bis(1-aziridino-1-hydroxyiminomethyl)pyridine (15),
- 2,5-bis(1-aziridino-1-hydroxyiminomethyl)furan (16),
- 3,4-bis[(aziridinyl)-1-hydroxyiminomethyl]furoxane (17),
- bis(2-methoxycarbonylaziridino)glyoxime (18),
- bis(2-carbamoylaziridino)glyoxime (19),

- 2,2'-azinobis(1-aziridino-1-hydroxyiminomethyl)propane (20), and
- 2,2'-azinobis[1-(2-carbamoylaziridino)-1-hydroxyimino]propane (21).
- 6. A method for preparing 1-aziridino-1-hydroxyiminomethyl derivatives pursuant to claim 1, in which a halogen compound with the general formula II

wherein R and n have the meanings given in claim 1, is reacted with an aziridine derivative with the general formula III

$$\bigvee_{R_2}^{H} \bigcap_{R_2}^{R_1}$$

wherein R_1 and R_2 have the meanings given in Claim 1.

7. A drug, characterized by the fact that it contains a compound pursuant to one of the claims 1 to 6.

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- 8. Use of the 1-aziridino-1-hydroxymethyl derivatives pursuant to claim 1 to prepare drugs for the treatment of tumors or cancerous diseases.
- 9. Use of the 1-aziridino-1-hydroxymethyl derivatives pursuant to claim 1 for the treatment of tumors or cancerous diseases.
- 10. Use of 1,1'-[1,2-bis(hydroxyimino)-1,2-ethanediyl]bisaziridine for the preparation of drugs for the treatment of tumors or cancerous diseases.
- 14. Use of 1,1'-[1,2-bis(hydroxyimino)-1,2-ethanediyl]bisaziridine for the treatment of tumors or cancerous diseases.